SEARCH REQUEST FORM

Scientific and Technical Information Center

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		Point of Contact: Susan Hanley Technical Info. Specialist CM1 12C14 Tel: 305-4053
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                     Combinatorial libraries are constructed to include
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                       Combinatorial libraries of the invention feature a
                        lurality of functional groups attached to backbone and prosphoramidate
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          Reaution of 1-0H00eH460H20H2606H4GH6-2 with 1-1,4-diamino-1,5-butanedid
          Feastion of 1-3HCCcH4CCH2CH2CC6H4CHC-2 with 1-1,4-miamino-1,3-butanedial and 1-1,3-diamino-1,4-butanedial gave macropyles I and II. rest., in almost gmant, yield. I existed in ICOl3 without its Jamiff base rind-openeds tautomers, even on heating, although heating in DMSC-deproduced these tautomers. The analogous tautomers of II could not be graduced. The effects of chelation on ring-chain tautomerism of II showed that Ni II, Jamiff, and Pb II are complexed by different tautomerism makes, depending on the size of the dation. Condensation of the complexed particular distributions of the diaminodials gave [1+1, macropycles, which formed partly unbiased, seperagetic duramic combinatorial libraries over a
            issenergetic dynamic combinatorial libraries over a
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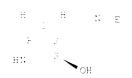
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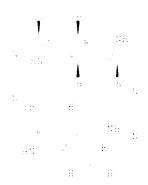


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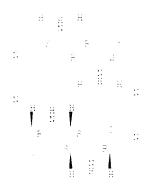
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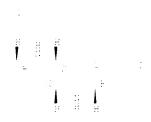
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4 y adon. or di-Et accdicarpowylate (DEAD) to 1,3-cyclocotadiene, By addn. If di-Et accolearpoxylate DEAD to 1,3-cyclocotadiene, soliclysis, redn., and encymic asymmetrication, by encymic esterification is the mest diel II  $\mathbb{R}1 = \mathbb{R}2 = \mathbb{H}$  to give monoacetate II  $\mathbb{R}1 = \mathbb{H}_1 = \mathbb{H}_2 = \mathbb{H}_3$ . Encymic hydrolysis of diacetate II  $\mathbb{R}1 = \mathbb{R}2 = \mathbb{H}_3$  have the elasticmeric monoacetate II  $\mathbb{R}1 = \mathbb{H}_3 = \mathbb{H}_3 = \mathbb{H}_3$ . Stereoselective pinacol coupling of I in = 1 and nomolog I in = 1 by Spalton's reagent (VICI3 THF 6)[2nlC16] gave adducts in  $\mathbb{R}_3 = \mathbb{H}_3$ , with III  $\mathbb{R}7 = \mathbb{H}_3$  point the predominant isomers. In the pase of I in = 1, 1.27 equiv of reagent ca. 1 equiv of V2+ was sufficient to bring the stocal conversion; for total conversion of I in = 1, a significant express of reagent was needed 1.1 equiv, ca. 4 equiv of V2+. From III  $\mathbb{R}_3$  and the samples for potential libraries of structurally. LEt . -wamples for potential libraries of structural: varied derivs., such as ayolic ureas and pseudotetrapeptides III - F ar-Val are prepd. 214549-56-1P

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.1454 -- ki-A HCAP1U3 Derpamic acid. [ 18,65 -1-{{[ 1,1-dimethylethyl dimethylsilyl'txy[methyl]--- methoxymethoxy methyl]-1, k-hexanediyl}bis-, diethyl ester 901 DA INLEW NAME

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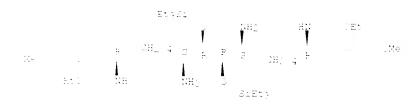
Targamic acid, [15,18,38,48 -1,4-bis[ 5F -5-] wthoxycarbonyl amino,-remethixymethixy hexyl]-1,3-dinydroxy-1,4-butanediyl bis-, is inenylmethyl ester PSI JA INDEX NAME

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Tarramsu kuta. 18.ks./P.SB.Hd.,45.He.R-diamont-1.14-is methikymethowy methyl.- .s-ris trivtnylsilyl.ky.-1.14-tyria:eyahediy: bis-. diethyl ester HVI JA INDEN NAME

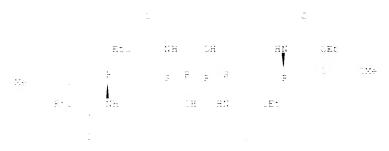
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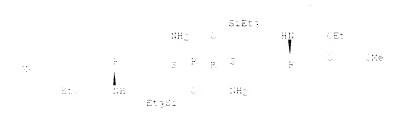
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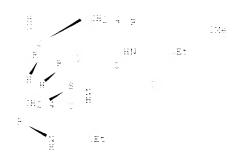
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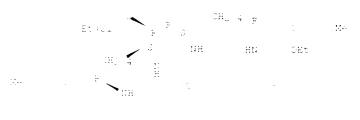


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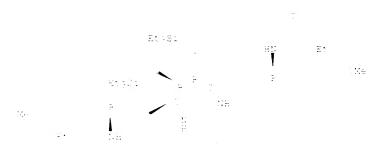
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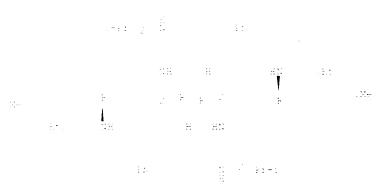


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              Combinatorial libraries disstructed to include aminodiol monomer subunits connected by phosphodiester, phosphotistricate, or phosphoramidate linking modelies were described. Thus, clipmeric compds, and libraries of such compas. Comprising a plurality of aminodiol monomer subunits, e.d., I [FI = TL &: a protective droup, L = cyclo alk en y1, aryl, netericyclyl, etc.; Pb, R4 = H, protective droup, P(O)P, etc.; P = OH, Tdi alkylamins, etc.; T = scnd, CH1, ([CR6PT]]mP5[CR8P9]n[CP1C]pE;q sic; E.PE = bend, CH1CH, Clipbend, C, NP11, etc.; P10 = C, S, NP11; P6-P9, P11 > H, hallo alkyl, aryl, etc.; m,n = 0-5; p = 0 or 1; q = 1 to about 10 sic; ned in timethal groups were claimed.
               ned ry linking groups were claimed.
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N PH-Purine-M-acetic acid, 6- penzcylamino - 901 CA INSEM NAME

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M 1-Fyrrolidinecarbowylic acid, 3,4-bis hydroxymethyl,-,
HH-fluoren-9-ylmethyl ester, trans- (901 CA IMDEX NAME

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                  rives named proymers, including data. The pertormance, can be meadlated by marging the monomer feed ratios, minomer conons., and applied polymn. I tential. Thus, the generation of the polymeric TEMPO
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                  tion in the hour strained course in a partner preserved the chart strained type side chains. A library of datalyst films was obtained over a wide range of bithiophene pyrrole ratifs upon impeated scanning of the applied potential from +0.5 to +1.4 V vs. As Apolic. The resulting datalyst films were used in both whem, and
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                nyurowyl vis a succinyl linker, which was nydrolyzed by mild ag. rasic charticles. The method was used to make a library of about
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                ...ta.-1.4-falactisy.transferase .neta.-1.4-dall and .aipha.-1.5-galactisy.transferase .aipha.-1.5-dall ind .aipha.-1.5-galactisy.transferase .aipha.-1.5-dall .frsp. on results :-m.nstrate that, finiugh these two dalactisyltransferases noth utilize the model and address data address that attribute the model and the artiference in their mechanisms an me attributed to design denot sugar or nucleotide analogs with
                 innibitory activities selective for only one of the
                galactisyltransferases. Investigation of .meta.-1,4-GalT imminition ising "PF-1-decxy-2-fluorogalactose" MDP-1-F-Gal , UDP, and bisphosphorates.
                als, led ti the observation of metal dependent inhibition of
                .neta.-1,4-%alT. These observations and the novel inhibitor motifs
                Thentified in this study pave the way for the design and identification or
                when more potent and selective galactosyltransferase inhibitors.
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    Minosyclic, bicyclic and oligomeric amines with at least two sites of

     diversity are formed from monocyclic scaffolds which can be cycliced to firm propyllo amine scaffolds. These can then be reacted with building
     :. \text{des}\ \text{t.} form the desired amines. Libraries or monocyclic,
     flaghlic and clipomeric amines are also prepa. The products have resterictual activity, acting on phospholipases Al. Thus, the indicated laws of the inhibition of several strains of payteria at 2 and 1.
     221137-91-3P 221137-93-5P
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 AB = A thermally induced intramol. 1,3-dipolar cycloaddn. If an abiddester and
                      subsequent scalum cyanoporchyaride redn. of the resulting bicyclic
                       vinylogous urethane to give a bicyclic aminolactone allows access to an
                      eight carbon nomelou or .alpha.-homomannopirimycin I which is a wear fundsidase inhibitor. Intermediates with both an .alpha.- and
                        .keta.-amino acid molety are described and may be useful for incorporation
                        of nomopopedolic acids into novel peptide libraries.
                      220309-41-1P
                        FL: BAJ Biological activity or effector, except adverse; SPN Synthetic
                      preparation ; BIOL Brological study); PREP Preparation synthesis and fucosidase inhibition of an eight carbon numbers of
                                     .alpha.-nomomannegirimycin via a bicyclic aminolactone
                      ... 309-41-1 HOAFLUO
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             The present invention provides a combinatorial approach for
            product the provided of a chem. Sensitive polymer-based sensors which are sapable is detecting the presence of a chem. analyte in a fluid in contact therewith. The described methods and devices comprise combining varying ratios of at least 1st and 2nd org. materials which, when combined, form a
             prlymer or polymer blend that is capable of absorbing a whem. analyte, thereby providing a detectable response. The detectable response of the
            sensors prepa, by this method is not linearly related to the mole traption is to least one of the polymer-based components of the sensors, thereby asking arrays of these sensors useful for a variety of sensors tasks.
             89014-30-2D, Pdly piperidine , defivs.
              Fir AF) Analytikal reagent use ; DEV Device component ase ; ANUT
| Analytical study.; USES | Uses |
                    analyte detection in fluid by sensor array based on polymer
                    combinatorial library
              99014-30-2 HCAPLUS
             Piperidine, homopolymer 301 OA INDEX NAME
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           Francerwerk Ad; 1E 424145+ A 1994 HIAPLUS
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     Hibrary stratery is the development of selective organization of converges minimize a suppression of the development of Selective Organization (Association) while, in-Huey expandent of Themistry and the Spangs Institute for Themisal Booking, Inc. Signs Besearch Institute, La Jolia, JA, 82.37, 73A c. org. Med. Them. Lett. Time , 5 of , consider the Model of them.
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      A shemselsymic strategy has been developed for the synthesis of libraries of immosymbol derivs, for the discovery of new and
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          library strategy for the development of selective
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.:45 1-15-1 HCAPLUS
      L-Piperidine arbonitrile, 3,4,5-trinydroxy-1- nydroxymetnyl -6-methyl-, ..., ..., ..., ... ... ... ... DA INDEX NAME
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      Fig. 8AC Bidligical activity or effector, except adverse ; PCT Feartant; will Synthetic preparation; BIGL (Biological Study; PPEP Preparation
             membenbymic synthesis of iminopyplitcl derivs., A useful
          library strategy for the development of selective
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Fig. 5A7 Firl giral autivity in effector, except adverse; CFM Cynchetry regaration; BICL Biclosical study; FFEF fregaration onemcencymic synthesis of improcyclical derivs., a aseful

library strategy for the development of selective

TENERY Stransfer encymes innibitors

[18417-6-4] HOAFLUS

Aretamine, C-amino-N-[] DR. SP. 48. 58. 68 -3.4.5-tribydroxy-u- nydroxymethyl - nethyl-u-piperidinyl[methyl] - 981: DA INDEX NAME

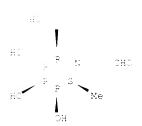
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019917-86-5 HOAPLUS

l-Piperidineacetaldehyde, 3,4,5-trinydroxy-2-'hydroxymethyl'-é-methyl-, LR,3R,4R,5R,kS:- 901 OA INDEX NAME

Additione stereognemistry.



119917-47-6 HCAPLUS Fruganamiae, L-amino-3-nydroxy-N-[[ 2F,3R,4R,5R,6S -3,4,8-trinyaroxy-.nyarowymetnyl -r-metnyl-u-piperidinyl]metnyl]-, 18 - 911 (A INDEX NAME

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       untermediates for incorporation of tetranger wypaper in a la anal ds of
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       libraries: deexpected has molar-range news-saminidads institution .
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       Him abasudars have the distinction as a class of natural products in that
       nust it them have been synthesized before they were isolated. Syntheses i salpha. And the seek synthesized before they were isolated. Syntheses i salpha. And theta. Instrumentary important rely in the stereselective and nembeselective sodium syahoborchydride redn. of a 1.2.2) bisyclic iminocatione to sive a single [1.1.1] bisyclic aminoclastone. Methanolysis
        under pasic conditions is accompanied by efficient epimerization of the
       first formed .alpha.-amino-ester to the more stable .beta.-amino-ester in
       which the 1,6-substituents are equatorial. Both the [0.0.0] ricyclic amint-lactine and the operal-amint-ester are Suitable intermediates for the incorporation of tetranydroxypipecolic acid derivs, into
       combinatorial libraries contg. .alpha.- and
       Leta.- '-ilycosyl analogs of aca-t-mannopyranose, resp. Metny.amides are shown to be specific and potent inhibitors of two leeta.-N-
       spetylulusisaminiuases but have no effect on an Lalpha.-N-
       specylcalactosaminidase. The synthesis of Lalpha. - and
        .deta.-manno-pipecolic acids is also reported.
       219589-69-2P 219589-71-6P 219589-72-7P
       Fig. BAC Biological activity or effector, except adverse; SPN Synthetic preparation; BIOL Biological study; PREP Preparation intermediates for incorporation of tetrahydroxypipecolic acid analogs.
             H mannepyranese into combinatorial libraries
      . 19989-ku-u EDAPLUS
       ..-Piperialnecarpoxamide, 3,4,6-trihydroxy-6- hydroxymethyl -M-methyl-,
        LC, BR, 48, BR, 6R - GOI GA INDER NAME.
Austiute stereochemistry. Rotation .- ..
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       <sub>P</sub> P NH
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      . 1 for General HTAPLUS
      .-Piperidinedarboxamide, 3,4,0-trinydroxy-k- nydrixymétnyl -N-pernyl-, .R,8R,48,5P,6R - Holi JA INDEM NAME
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127995-29-3P, .alpha.-Homomannohirimyoin 219589-70-5P 219589-83-0P

RL: SPN Cynthetic preparation:; PREP (Preparation intermediates for incorporation of tetrahydroxypipeoslic acid analyse) of mannapyranose into combinatorial libraries
1:7995-29-3 HCAPLUS

-,4,5-Piperidinetricl, 2,6-bis(hydroxymethyl -, 2R,3R,8R,6R - 431 CA

Assilite stereichemistry. Rotation + .

.19569-7 -5 HCAPLUS

.-Piperidinecarpoxylic acid, 3,4,5-trinydroxy-6- nydrixymetnyl -, lu,5R,40,5R,cR-- 901 - CA INDEX NAME:

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       It expand the availability and sily, rande if plymer supports for algorithms are incompared a sequence of normal and "living" tree radical polymn, to deserate a library of all an exposure places or draft aromitecture with initialization and a diverse set of winyl monomers. The structure, mail wit, and polydispersity FD of the individual library memores have been
        letd. by size exclusion chromatog. SEC , lH and 130 MMR, and as a
       cumption or the soly. Sf @aon polymer in @ range of solvents.
copol,mer, polyBe-ls Mn = 17 000, PD = 1.84 derived from
       -tert-putylstyrene BS, 3.4-ulmethoxystyrene DS has a soly, profile sol, in tiluene, THF THF, ether, acetone and methylene onloride LSM, unsel, in methanol and water; that is different from the present polymer tonoical for LFS, puly ethylene glycol FES, and was studied in some setail as a new support in LFDS. The Lalpha.—nitrile groups of polyb3-LS to making monthly with the first terms to be setailed.
       tre reduced smoothly with LiAlH4 in THF to give the amino functionalized options: -0.14 mmol g-1 of amino groups based on a quant, ninnydrin
       anal. . Kinetic studies have revealed that derivatization of the amino
       groups of the copolymer with 4-dimethylaminocinnamaldenyde occurs at a
       comparable rate to a soln. Sounterpart (kpoly21 = 1.49 1 mol-1 h-1 vs +aminonexane = 0.69 1 mol-1 h-1). Following reaction with
       t'-grutardyl- 35,45,-4-diphenylphosphino-2-(.diphenylphosphino)methyl/pyrrc
       siuine and exchange of Ph.I , the resulting prosphine conty. Copolymer,
       varialyzes the enantroselective hydrogenation of 2-N-acetamidoacrylic acid to N-acetylalanine in THF. Am 80% enanticmeric excess sees of
        S -N-adetylalanine is obtained, comparable to that obsd. with a
       n'magenetus phosphine ligand. This work highlights the power of a
       parallel polymer synthesis strategy, from conception to application, for
       ne generation of polymers possessing unique soly, profiles and
        functionality which can serve as novel supports in LPCS.
       213994-83-3P 213994-85-5P 213994-88-8P
       213994-90-2P
       parallel polymer prepn. via sequential nirmal living free radical
           polymn.
       T13994-85-3 HCAPLUS
       1-Propendic acid, 2-methyl-, 2-phenyl-2-(+2,1,6,6-tetramethyl-1-
       piperidinyl/oxylethyl ester, polymer with ethenylpensene and
        -éthenyi-1,2-dimethoxybenzené, graft 901 - CA INDEX NAME
        PM 013994-57-1
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       L19494-81-6 HIAPLUS
.-Fropensis acid, 1-methyl-, 1-phenyl-2-[32,2,6,6-tetramethyl-1-
piperidinyl cxy]etnyl ester, polymer with 4-ethenyl-1,1-dimethixypensene
801 UA INDEX NAME
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=Frig#6'in arid, u=metnyl=, l=phenyl=l=| 1, ..., e, r=tetrametnyl=l=
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       IRN FF-LF.
Fit Ulsw84-Hu-1 HOAPLYS

"N U-Propensis acid, U-methyl-, U-phenyl-2-(U,2,6,t-tetramethyl-1-
(Uperidinyl dxy;ethyl ester, polymer with 4-ethenyl-1,1-dimethoxymensene
and U-ethenyl-2-pyrrolidinone, graft 901 MA INDEX NAME
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# MARIOTHEL GREET, HEL

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Aviative stereichemistry.

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Amparatus and method for Filid-phase synthesis of hemo libraries of no modificamensional movable arrays
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     In tower, Caminatines, Inc., TCA
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      English
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     HATENT N . FINE CATE
                                                   APPLICATION N.. CATE
     18, FI
1941 di 1941- 91896
W 1946-US1191
                                 1998:115
     A them, synthesis app. is described for published them, compds, including a mead assembly having an array of movable nubbles coupled to reservoirs if i.g. readents and a base assembly having an array of reaction wells. A
      transport mechanism aligns selected noticle columns in the W-direction, and
      independently controllable sliders move notice columns in the Y-direction.
      The first sliding seal and the plurality of second sliding seals firm
      enclosed reaction wells while permitting reagent delivery. A gas inle and outlet sweep away fumes emitted by reagents. Methods of compd.
      synthesis from chem. Components are also provided. The app. permits the
      synthesis of chem. libraries.
     211571-40-3P 211571-41-4P 211571-42-5P
      211571-43-6P 211571-44-7P 211571-45-8P
      211733-81-2P 211733-82-3P
      Fl: SFN Synthetic preparation: , PREF Preparation
          stlid-phase synthesis of them. libraries using
         multidimensional movable arrays
      LIILTI-40-3 HOAPIUS
     Fn.sphbramidic acid, cyclopropyl-, 3-hydroxy-2-j(2-metnyl-1-)xipropyl aminc)propyl 3R,5R -E-hydroxy-1-iphenylmethyl -?-piperidinyl ester, rel- 9CI (CA INDEX NAME)
Felative stereschemistry.
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      Bi ephizamidir arid, nyologropyle, lej Jenydroxyethyl Lemethyleje
- x.gropyl amonolethyl (3P.SR -Senydroxyele phenylmethyl eåepoperidinyl
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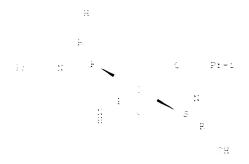
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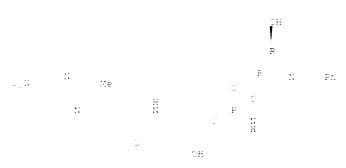
M Ph. sphriamidic acta, mydiopropyl-, [ LR,48 -4-hydroxy-.- u-nwthyl-.- w gr pki -1-pyrrtlidinyl[methyl | 38,68 -6-hydroxy-1- phenylmethyl --- ti:eridinyl ester, rel- | x01 | CA INDEX NAME

security esterochemistry.



Dittol=43-6 HOAPIUS
Fnisphoramidic acid, cyclopropyl-, 3-nydroxy-1-[(3- 1-methyl-4-mitro-1Himidazzl-1-yl -1-ixopropyl]amino.propyl 3R,6R -5-nydroxy-1- phenylmethyl 3-piperidinyl ester, rel- 1901 CA INDEM MAME

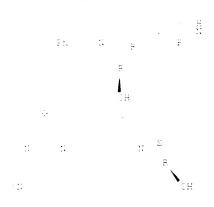
Felative stereschemistry.



lii371-44-7 HOAFLUS Phasphoramidië acid, byclopropyl-, î+[ 1-hydroxyethyl ,3- 2-methyl-4-hitra-H-imidacul-.-yl -l-cwopripyl]amino[ethyl 38,58 -/-hydroxy-1-phanylmethyl -3-piperidinyl ester, rel- 901 OA INCEX NAME

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HI DIITSS-FI-D HOAPLUS

Phisphoramidic acid, cyclopropyl-, (4- hydroxymethyl--i--l-methyl-itx propyl -3-pyrrolidinyl[methyl 3F,5R -5-hydroxy-1- phenylmethyl -3piperidinyl ester, rel- 9CI: -CA INDEX NAME)

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              showed that both nucleoside diphosphates and triphosphates inhibited
               milactosyltransferase while none of the nucleoside monophosphates,
             including dridine-5'-monophosphate, showed any inhibition. Addml.

libraries were generated in which the conons, of the inhibitors

were varied and, using mass spectrometry, uridine-5'-diphosphate-u-decay-..-
              fluorogalactose was identified as the best inhibitor.
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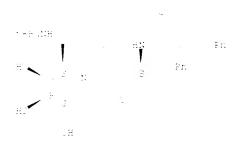
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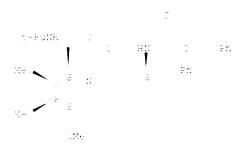
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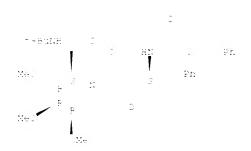
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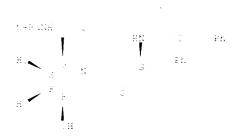
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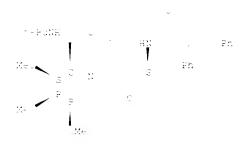
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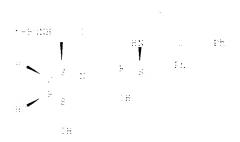
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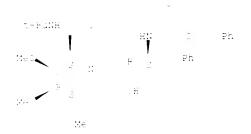
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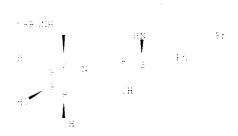


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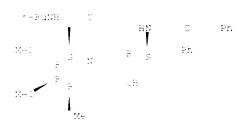
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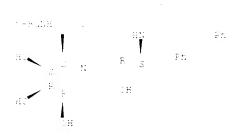
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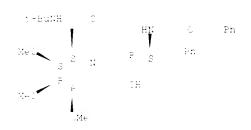


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1:1539-12-7 HJAPLUS
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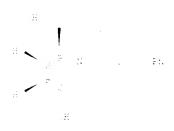
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ominše-im-l HCAPLUS -FiperigineJarockamide, M-.1,1-dimethyletnyl -3,4,5-trinybroky-. LS- L.alpha..g.beta.,4.alpha.,5.beta. j- 971 - CA INCEN NAME

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Fig. (2) Sign that 
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1-Fiperidinecarboxylic acid, 2-[[[ 1,1-dimethylethyl dipnenylsilyl"cwy]methyl]-5,4,5-trimethoxy-, phenylmethyl ester, [2R-malpha.,3.meta.,4.alpha.,5.beta.]- 901 UA INDEX NAME
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                      141851-31-4 HCAPLUS
                     1-Piperiainecarpoxylic adid, 2- hydroxymethyl -3,4,5-trimethiwy-,
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CN 1-Fiperidinecarboxylic acid, 2-[(:1,1-dimethylethyl-amino)carbonyl]-3,4,5-tris-phenylmethoxy -, pnenylmethyl ester, [OS-1.alpha.,3.peta.,4.alpha.,5.beta.;1-901 CA INDEX NAME

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The invention relates to novel pensimidabole derivs. I [RI-R4 = H, nal., protected OH, dyano, un substituted alkyl enlyn yl, alkdwy, aryl, neterôwywlyl, darbamoyl, wto.; R6 = H, un substituted alkyl, Ph, phenylalkyl, door, amind, neterocyclyl, etc.; R6 = HE-W-E-, wherein W mind, un substituted phenylene, dycloalkylene, arylene, neterocyclene, etc.; I - un substituted dyclopnenyl alkdenyn ylene, phenylene, NH, etc.; E mind, groups given for D; R7, R6 = H, fesin, un substituted alkyl, Ph, neterocyclyl, dycloalk enlyl, sulfitnyl in Parbinyl derivs.; with provisis requiring that one of R1-P4 = un substituted COMH, when Pk or H. The invention further relates to combinatorial libraries contg. two in more such compast, as well as methods if preparation. The timpost are pitentially useful due to bill, artivity. Firstingtance, a library if 86.185 such bendimidable derivs, was inequal timpostated amines. The synthetic method invitates: I coupling if an N-privated amine acid component to an amine resin, if a supplied if an N-privated amine acid component to an amine resin, if a supplied if an N-privated amine acid component to an amine resin, if a supplied if an N-privated amine acid component of an amine resin, if a supplied if an N-privated amine with a-fluing--mittingenoid acid; A amidation of the applied an amine: k synt subdensation of the Applited diamine with an amine observed from the appoint with HF. An

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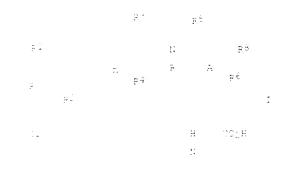
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**NBNP1-B11, in CHLOPT; Pt and F1 = independently H, Cyyll alkyl, alkenyl, alkynyl, acyl, heterolaryl, or taken together with the N to which they are attached form a 3-10 membered ring, &tol, were prepartly stall remotify acids to halomolines and optional read. If amidation if the acid, Fit enample, treatment if L-amino-B-icotol dene in CHF with CLA in CHF heriance enemylenches silm, followed by addh. Of L,4-difluir renotify acids. The attorded II in an in vitro assay, L-L-methyl-4-then the acid in CHF attorded II in an in vitro assay, L-L-methyl-4-then prevented antigen-induced prion. If interlevant is LH-i by VA-pined pien system with the citerious and pientsystem will be a simphilic lind intlammation by CA-L-midden.

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                   The title compos. If [wherein R1 = H, CH, alkyl, alkowy, halo, DF3, or NN, R3-R5 = independently H, OH, halo, CF3, alkyl, alkowy, NCC, NN, or NH-m-+CH2+n-R9, where R9 = H, CH, CC2H, or NRICRIC, m=0 or 1, n=-4, R12 and R11 = H, alkyl, or taken together with the N to which they
                    are attached form a 3-10 membered ring; Z = \text{COZR7}, tetrazoryl, CONR6P°, TINHNR10P1, or CH2OR7; PE and R7 = independently H, cyclovalkyl, alkenyl, alkynyl, acyl, heteroraryl, or taken together with the N if which they are attached form a 3-10 membered ring, etc. were prepally
                     eta. In combinatorial synthetic methods involving the addn. If
                    nelopenbric acids to halbanilines and optional redn. or amidation of the
                   Fig. Thus, treatment of L-amino-5-icotocluene in PHF with LDA in THF/heptane/etnerylpendene schn., followed by addn. or 1,4-diffuurmendor and in THF afforded II. Combination chemotherapy of I with a known mitotic agent daused gramatic increases of apoptosis of colon and lung darkning relis. For instance, 1-2-chloro-4-icotonenylamino-B-
                    "yploprinylmetnoxy-s,4-difluoropendamide .PD L84342 in "commination with
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                     anu Ab4+ lung parbinoma bells.
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        The title compds. (I- [wherein Rl \approx H, OH, alkyl, alkixy, half, SF), if SN, RS-PE \approx independently H, OH, halo, SFS, alkyl, alkoxy, NcG, SN, if I NH m- SH1:n-R9, where R9 \approx H, OH, CO1H, or NR10R11; m = 0 or 1; n \approx 1-4; R1, and R11 \approx H, alkyl, or taken together with the N to which they
         are attached form a 3-10 membered ring; \hat{z} = 302R^{\circ}, tetracolyl, CONRER
        CINHNRIPEL, or CHIORT, Ré and RT = independently H, cyclo alkyl, sixenyl, alkynyl, acyl, heterolaryl, or taken together with the N to which they are attached form a 3-10 membered ring, etc.] were prepalary
        std. Or combinatorial synthetic methods involving the addn. of
        multipercord acids to halcanilines and optional redn. or amidation of the
         agin. For example, treatment of 2-amino-5-rodotoluene in THF with LDA in
        Ayid. For example, breatment of 2-axino-3-load-toldene in in with DDN in THE heptane/ethenylbenzene soln., followed by addn. of 1,4-diffutionenzoln a id in THE afforded II. In assays against type II collagen induced withritis in mide and monoarticular arthritis in rats. I showed pitcht
         arti-arthitic activity. I inhibited ID-1 induced stromelysin prion. I:
        input synchral functional cell cultures with 10% from 4 nM to 10% nm. Interleumin 1-alpha stimulated cartilage degran, was reduced by m to 10% in New Dealand white rappits upon administration of I. Thus, I are obtain MEF inhibitors iseful in the prevention and treatment of rheumatics
         arthritis or Esterarthritis.
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              are attached form a 3-10 membered ring; \hat{z} = CC2R7, tetracolyl, CCNR6R°,
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             which they are attached form a 3-10 membered ring, etc.] were preparaty and a combinatorial synthetic methods involving the addition of
              this benegic acros to halvanisines and optional fedh. Of amidation
             a ii. For example, treatment of 1-amino-8-icdotoluene in THF with 1DA in THF neptane.ethenylbendene scin., followed by addin. or 1,4-diffugromennoid scid in THF afforded II. In a mixed lymphocyte or leukocyte, reaction MLP assay, 1- 1-onlord-4-icdopnenylamino -N-tyclopropylmethoxy-3,4-diffugropendamide PE 184352 improved histocompatibility and dave 1000 of the NML PE 184352 demonstrated potent immunosuppressive activity by account of the NML PE 184352 demonstrated potent amounts of the NML PE 184352 demonstrated potent immunosuppressive activity by
               rausing almost total inhibition of Con A induced T cell proliferation at
              the nighest dose tested w10.0 .mu.M, with IC50 of 340 nM. Thus, I Are
             tent MEF inhibitors with immunosuppressive properties that are useful torpreventing and controlling the rejection of transplants in mammals.
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              Combinatorial de nové synthesis of patalysts: now made if a
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                      the activity in the zinc peptide system, whereas prlymer-round historia-
was from as the crucial substructure in compination with europium.
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Tie, B; Angew Chem Int Ed Engl 1994, V31, F1668 HCAFLUS
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               In the solid phase preph. of an amine a {\bf diol} is monoalkylated with a chloromethyl resin followed by reaction with N,N'-
                    Parhonylaimidazole to afford a resin-bound tertiary-
                 alannycarponylimidatole which is N-alkylated and then sequentially treated
                 with appropriate building blocks and reagents to afford a resin-bound
                 umine which affords the desired amine after treatment with an acid. Thus,
                 HUDH.CH.CMeIOH was linked to Merrifield resin and treated with supply value and treated with
                  was tréated with L-leucine Me ester hydrochloride to give resin-nound
                 RUBHICHLOWelOCC-L-Leu-OMe. The methylestar was converted to the hydrapide, treated with PhOHIMOS and cleaved from the resin with CFFC LH
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          A three-pamponent library of compas. was prepd. in parallel
           Three-Tomponent library of Longus, was played in galacted samples and multiple simultaneous soln.—phase synthetic methodol. The compass were played toward opicial receptor antagonist activity by incorporating — 18,48 —dimethyl-4—3—hydroxypnenyl piperidine a potent, in associative opicial pure antagonist as one of the monomers. The other two monomers were N-substituted or unsubstituted Bod-protected amino acids and the company of a photography in and a second or exhabitioned and approximate and and are selected to add
           and a range of substituted aryl carbowylic acids and were selected to addrnem, diversity. Screening of these compds, in competitive binding expts, with the 'kappa, opioid receptor selective ligand \{3H\}U69,593 led to the
           discovery of a novel .%appa. opioid receptor selective ligand, RTI-5#89-19
I. Adaml. structure-activity relationship studies suggested that I
           cassesses lipophilic and hydrogen-bonding sites that are important to its
           pulling receptor potency and selectivity. These sites appear to exist
           predeminantly within the .kappa. receptor since the selectivity arises from a 230-fold loss of affinity of I for the .mu. receptor and an 18-fold
           Ingrease in affinity for the .kappa. receptor relative to the .mu.-selective ligang, + -N-(trans-4-phenyi-1-butenyi)--3R,4R -dimethyi-4-3-hydroxyphenyi piperidine. The degree of selectivity obsd. in the radiciliand cinding expts. was not obsd. in the functional assay.
           A traind to its ability to innibit agonist stimulated binding of the STE damma. Shat all three opicid receptors, I behaves as a
            the lacital reseptor.
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      A procedure for the solid-phase synthesis of cycloalkane derivs. I [F = \pm110 phase support; L \pm 0HL L=10, CHC 0CHC 1=150; R1 \pm H, Fruant radical; F1 \pm H, arkyl, alkenyl, alkynyl, cycloalkyl; R181 \pm cycloalkyl, aryl; R \pm one or two vicinal ordano radicals; n \pm 0 \pm 4; m \pm 0 \pm 0n+2\pm1 involving condensation of \pm1-1-010CH2CC2R3 with RCCH2CR1:CHCHRMCHRM.CH2)NCH0 followed by
       syclication of P-O-L-02CCH CC2R3:: CH nCHRmCHRmCH:CRICHIRC in the presence
       if a Lewis acid is described. Thus, iridead precursor II was prepd. from 1-0-1-0/00H2CO2Me via condensation with Me2C:CHCHMeCH2CH2CH2 in CH2Cl2
       intg. piperidinium acetate followed by cyclization in CH2C12 mints. InBr2 and redn. with DIBAH in PhMe.
      ANOMER 14 OF 26 HOAPLUS COFFRIGHT 2001 ACS
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1.;;
      Preparation of non-nucleotide ynosphorus ester oligimers and their
      combinatorial libraries as selective target-hinding
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      Mentles, Proest G., Doom, Alan F., Pudolph, Morris J., Fathi, Pece
Fharmagenics, Inc., USA
F T Inc. Appl., 106 pp.
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                                 in up, a prosprocramidate or a prospro-mondester, R1 can be the same of different in each monomeric unit, and in at least one of the
                               non-nucleating monomeric units, \hat{R}l is independently selected from a convensation product of (1) a non-vicinal diol attached to an H-rong a non-functionality; (1) an H-bong acceptor selected from an
                                 -ther, a purine ir pyrimidine substituted 1,1-diol ir a
                                 *!Manstituted neteracycle: iii å non-vicinal diol attached to anyuranchapic functionality or a vicinal diol attached to an
                                 1.iph. of alloyolic hydrophopic functionality; iv a diol
.ttached to a ring substituted anionic functionality and voluments.
                                 whitety attached to a nun-vicinal or alloyolic diol, any or which was further include a detectable label; houstored, i). Freterroo
                               m leties include condensation products of meterloyouth diols. However, and polycyclic diols. The combinatorial library
                               mixts, if the diagomers and the use of the diagomers as selective faiget-pinding compds, are claimed. In an example, when a library
                                   if non-nucleotide phosphorus ester cligomers is screened against infombin,
                                 a subpopulation (0.001-0.01%) of the original library binds to
                                 the target, with an apparent Ed < 100-500 nM.
                          ANSWER IN UFICE HOAPLUS COPYRIGHT COULIAGE INVINCENTAL HOAFLUS
                                        ...u-phase preparation of encoded combinatorial dipeptide
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                               Ealawin, John J.; Henderson, Ian; Waksmunski, Frank S.
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                               FIT Int. Appl., 96 pp. CIEN: FIMMO2
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Tible 10-stirler residue; Li = linker residue; g = L-30; ill - Asi-Aa. - (HLARI - CHLOCH CH CHEMR); Aai, Aai = each aming arins coined by anide romus; Aai mannot contain a linear chain of 3, 4, or 5 atoms which one, the carboxyl carbonyl from the aming group if Aai; Aai mannot be an Laipha. -aming acour Ari = aryl, heterdaryl; CHEARI is attached to the N in the Ballock of Table 2 aryl, alpha colored acour and the aryl, alpha colored acour and the aryl, alpha colored acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour acour aco Aal) Bi = B, Di-10 alkyl, alkenyl, alkynyl, aryl, netercaryl, substitutga ri ar hetersaryi, arŷi or hetêrdaryi fûsed to a s- or 4-membered marety form a nonarom, second ring, substituted Ci-ló alkyi, alkenyi, ar is a header. See that Ing. Sabetruted CT to array, already, at all the residue Aal is attached to L1 via its carboxyl and to Aal by its amino group) is disclosed. Thus, identifiers III in = 3-12, Ar = 06015; n = 4-6, Ar = 06H2C13-2,4,6 were preparably Mitsunobu reaction of Me vanillate and the corresponding aryloxy-substituted alk. HO(CH2\nOAr, followed by sapon., acid phicrination, and diazoketone formation with either CH2N2 or Me3SiCHN2. Seven N-9-fluorenylmethoxydarhonyl Fmod-substituted amind acids were sec. esterified to TentaGel.RIM.S PHB resin with dissopropylcarbodismide, collowed by encoding with 1.8 to 18- by mass of a linker-diazoketone reagent III in the presence of thodium trifluoroacetate. Each of the seven resin patches was encoded by one or more linker-diaboketones III to still duce in appropriate binary code. After encoding, the seven resin catines were combined and mixed to homogeneity, filtered, dired, and nivided into 15 equal patches. Each batton was sept deployeed with piperidine, ccupied with another Fmod-amino acid deriv., and enlaged as above. The patches were again combined, re-divided into El rationes, encoded as above, deprotected, and subjected to reductive alkylation with arom. aldehydes. The resin patches were then combined and :-- ivided into 31 equal patches and subjected to ring opening reactions with 31 epoxides to give the requisite resin-bound hydroxypropylamine dispeptice derivs. The individual beads can be decoded by oxidative cleavage with serric ammonium nitrate and GC anal, using electron sapture aktection.

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       is quinciline derivs. I [FI = un substituted alkyl, alkenyl, etc.; F. = H, un substituted alkyl, etc.; P3 - R6 = H, naic, etc.; \mathbb X = H, etc., \mathbb Y [72H, etc.] are prepd. More specifically, this invention provides novel
        iscquincines as well as novel libraries comprised of many such compas. This document also describes an initial screen of iscquincline
        libraries in the .delta.-opioid receptor assay and the .sigma.
        ie meptir assay.
       ANSWER IT IF SU HOAPLUS COFFRIGHT 2001 ACS 1996:342845 HOAPLUS
        115:147176
       A solution-phase strategy for the synthesis of chemical {\bf libraries}
        containing small organic molecules: a universal and dipeptide mimetic
       theng, Joan, Tarby, Onristine M.; Comer, Daniel D.; Williams, John P.; Daporale, Lynn H.; Myers, Peter L.; Boger, Dale L. Stmbichem, Inc., San Diego, CA, 90101, TSA Bicorg. Med. Chem. 1996; 4:5-, T2T-T3T TODEN: BMECEP; ISSN: 0968-0896
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               unrunction with high throughput characterization
            methods from spray mass spectrometry and HPLC) to optimize reactions rapidly for solid phase synthesis. The approach is demonstrated in the synthesis of 4-aminoproline analogs by reductive amination under a wide
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